Translation

PCT/JP2004/007813

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference DS0079	FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. PCT/JP2004/007813	International filing date (*day/month/year*) 04 June 2004 (04.06.2004)	Priority date (*day/month/year*) 06 June 2003 (06.06.2003)
International Patent Classification (IPC) or national classification and IPC C07D 215/56, A01N 43/42, C07C 51/60, 65/21, 221/00, 225/14		
Applicant DAIICHI PHARMACEUTICAL CO., LTD.		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 6 sheets, including this cover sheet.

3. This report is also accompanied by ANNEXES, comprising:

   a. ☐ *(sent to the applicant and to the International Bureau)* a total of _____ sheets, as follows:

      ☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).

      ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.

   b. ☐ *(sent to the International Bureau only)* a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

   ☒ Box No. I Basis of the report
   ☐ Box No. II Priority
   ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   ☒ Box No. IV Lack of unity of invention
   ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   ☒ Box No. VI Certain documents cited
   ☐ Box No. VII Certain defects in the international application
   ☐ Box No. VIII Certain observations on the international application

Date of submission of the demand 21 December 2004 (21.12.2004)	Date of completion of this report 28 April 2005 (28.04.2005)
Name and mailing address of the IPEA/JP Facsimile No.	Authorized officer Telephone No.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
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Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on translations from the original language into the following language _____, which is language of a translation furnished for the purpose of:

☐ international search (under Rules 12.3 and 23.1(b))

☐ publication of the international application (under Rule 12.4)

☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the **elements** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

☒ The international application as originally filed/furnished

☐ the description:

pages _____, as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ the claims:

pages _____, as originally filed/furnished

pages* _____, as amended (together with any statement) under Article 19

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ the drawings:

pages _____, as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing *(specify)*: _____

☐ any table(s) related to sequence listing *(specify)*: _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing *(specify)*: _____

☐ any table(s) related to sequence listing *(specify)*: _____

* *If item 4 applies, some or all of those sheets may be marked "superseded."*

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Box No. IV Lack of unity of invention

1. ☐ In response to the invitation to restrict or pay additional fees the applicant has:

   ☐ restricted the claims.

   ☐ paid additional fees.

   ☐ paid additional fees under protest.

   ☐ neither restricted nor paid additional fees.

2. ☒ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

   ☐ complied with.

   ☒ not complied with for the following reasons:

The special technical feature of claims 1-4 concerns a process for producing the compound of Formula (VI) by following the pathway from the compound of Formula (IV) to the compound of Formula (V), whereas the special technical features of the inventions of claims 7 and 8 concern the compound of Formula (VI), which is a product of the aforementioned production method, and the compound of Formula (V), which is an intermediate in that process. On the other hand, the special technical features of claims 5 and 6 concern the compounds of Formulas (I) and (II), which are not included in the above production process.

This being the case, because no technical relationship containing one or more than one of the same or corresponding special technical features is present in the groups of inventions comprising claims 1-4, claims 7 and 8, claim 5, and claim 6, this examination finds that these groups of inventions are not so linked as to form a single general inventive concept.

4. Consequently, this report has been established in respect of the following parts of the international application:

   ☒ all parts.

   ☐ the parts relating to claims Nos. _____ .

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Box No. V **Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

Novelty (N)	Claims	1-6	YES
	Claims	7, 8	NO
Inventive step (IS)	Claims		YES
	Claims	1-8	NO
Industrial applicability (IA)	Claims	1-8	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)

(Documents)
1. WO 2002/040478 A1 (Daiichi Pharmaceutical Co., Ltd.) May 23, 2002
2. JP 63-316757 A (Ube Industries, Ltd.) December 26, 1988
3. WO 2001/072738 A1 (Daiichi Pharmaceutical Co., Ltd.) October 4, 2001
4. JP 2001-516756 A (THE PROCTER & GAMBLE CO.) October 2, 2001

(Commentary)
Claims 1-6

None of the documents cited in the international search report discloses the inventions of claims 1-6, and therefore these inventions are novel, but based on the descriptions in documents 1-4 cited in the international search report, these inventions lack an inventive step.

Document 1 describes a process for producing the compound represented by Formula (VI) wherein the compound represented by Formula (V) of claim 1 undergoes hydrolysis (see Example 5). Documents 1-3 describe a process wherein an acid halide obtained from a benzoic acid derivative is reacted with the dialkylamino acrylate represented by Formula (III) of claim 3 or acrylonitrile to obtain a 3-dialkylamino-2-substituted benzoyl acrylate or acrylonitrile, and after reaction with a cyclopropyl amine optionally substituted with fluorine, it is treated with a base to bring about ring closure as a process for producing the compound of Formula (V), wherein only the substituent at position 6 or 8 on the quinoline ring, which is not involved in the reaction, is different. This being the case, this examination finds that it is obvious to persons skilled in the art to apply the 3-methoxy-2, 4-difluoro benzoic acid or acid chloride in place of the benzoic acid or acid halide, which is the starting compound in the processes described in documents 1-3, to produce the compound represented by Formula (V) above. In addition, this examination finds that persons skilled in the art can produce and apply as needed a compound having a group with similar reactivity in place of the halogen atom in the benzoic acid halide that is the above starting compound.

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Box No. VI Certain documents cited

1. Certain published documents (Rule 70.10)

Application No. Patent No.	Publication date *(day/month/year)*	Filing date *(day/month/year)*	Priority date (valid claim) *(day/month/year)*
WO 2004/013103 A2 [EX]	12.02.2004	03.08.2003	05.08.2002

2. Non-written disclosures (Rule 70.9)

Kind of non-written disclosure	Date of non-written disclosure *(day/month/year)*	Date of written disclosure referring to non-written disclosure *(day/month/year)*

Supplemental Box

In case the space in any of the preceding boxes is not sufficient.
Continuation of Box V:

Claims 7 and 8

Based on the description in document 1 cited in the international search report, the inventions of claims 7 and 8 lack novelty and an inventive step. Example 4 of document 1 describes the compound represented by Formula (V) of claim 7, and Example 5 of document 1 describes the compound represented by Formula (VI) of claim 8.

In addition, this examination finds that persons skilled in the art can easily change the substituents on the quinoline ring in response to the intended antibacterial compound.